

PATENT COOPERATION TREATY

PCT

INTERNATIONAL SEARCH REPORT

(PCT Article 18 and Rules 43 and 44)

Applicant's or agent's file reference SJB/PB60320	FOR FURTHER ACTION see Form PCT/ISA/220 as well as, where applicable, item 5 below.	
International application No. PCT/EP2004/006592	International filing date (day/month/year) 17/06/2004	(Earliest) Priority Date (day/month/year) 19/06/2003
Applicant GLAXO GROUP LIMITED		

This International Search Report has been prepared by this International Searching Authority and is transmitted to the applicant according to Article 18. A copy is being transmitted to the International Bureau.

This International Search Report consists of a total of 5 sheets.

☒ It is also accompanied by a copy of each prior art document cited in this report.

1. **Basis of the report**

a. With regard to the **language**, the international search was carried out on the basis of the international application in the language in which it was filed, unless otherwise indicated under this item.

☐ The international search was carried out on the basis of a translation of the international application furnished to this Authority (Rule 23.1(b)).

b. ☐ With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, see Box No. I.

2. ☐ **Certain claims were found unsearchable** (See Box II).

3. ☐ **Unity of invention is lacking** (see Box III).

4. With regard to the **title**,

☐ the text is approved as submitted by the applicant.

☒ the text has been established by this Authority to read as follows:

1-PHENYL-2-OXO-3-SULFONYLAMINO-PYRROLIDINE DERIVATIVES AND RELATED COMPOUNDS AS
FACTOR XA INHIBITORS FOR THE TREATMENT OF ACUTE VASCULAR DISEASES

5. With regard to the **abstract**,

☐ the text is approved as submitted by the applicant.

☒ the text has been established, according to Rule 38.2(b), by this Authority as it appears in Box No. IV. The applicant may, within one month from the date of mailing of this international search report, submit comments to this Authority.

6. With regards to the **drawings**,

a. the figure of the **drawings** to be published with the abstract is Figure No. _____

☐ as suggested by the applicant.

☐ as selected by this Authority, because the applicant failed to suggest a figure.

☐ as selected by this Authority, because this figure better characterizes the invention.

b. ☐ none of the figures is to be published with the abstract.

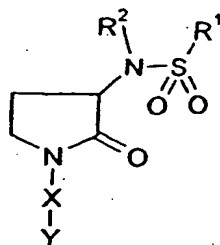
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Box No. IV Text of the abstract (Continuation of item 5 of the first sheet)

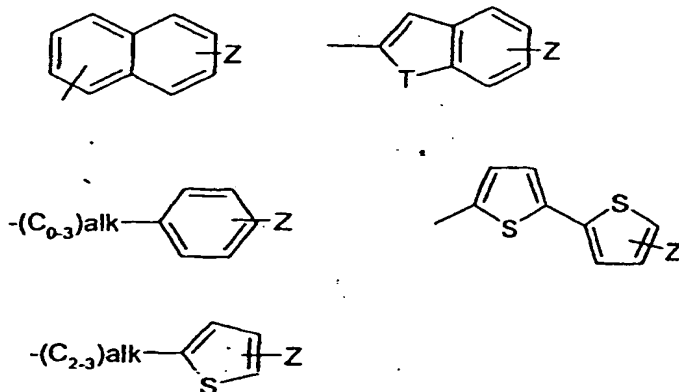
The invention relates to compounds of formula (I):



(I)

wherein:

R¹ represents a group selected from:



each ring of which optionally contains a further heteroatom N,

Z represents an optional substituent halogen,

alk represents alkylene or alkenylene,

T represents S, O or NH;

R² represents -C₁₋₆alkyl, -C₁₋₃alkylCN, -C₀₋₃alkylR^c, -C₁₋₃alkylR^f, -C₂₋₃alkylNR^aR^b, -C₂₋₃alkylOC₁₋₆alkyl, -C₂₋₃alkylOC₁₋₃alkylCONR^aR^b, with the proviso that R² does not represent C₂₋₃alkylmorpholino;

X represents phenyl or a 5- or 6- membered aromatic heterocyclic group containing at least one heteroatom selected from O, N or S, each of which is optionally substituted by 0-2 groups selected from: halogen, -C₁₋₄alkyl, -C₂₋₄alkenyl, -CN, -CF₃, -NR^aR^b, -C₀₋₄alkylOR^e, -C(O)R^d and -C(O)NR^aR^b;

Y represents a substituent selected from hydrogen, halogen, -C₁₋₄alkyl, -C₂₋₄alkenyl, -NR^aR^b, -NO₂, -C(O)NR^aR^b, -N(C₁₋₄alkyl)(CHO), -NHCOC₁₋₄alkyl, -NHSO₂R^d, -C₀₋₄alkylOR^e, -C(O)R^d, -S(O)_nR^d, or -S(O)₂NR^aR^b;

The other substituents are as defined in claim 1.

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A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 A61K31/4025 C07D409/12 C07D409/14 C07D413/14 A61P7/02

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 A61K C07D A61P

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	WO 03/043981 A (GLAXO (GB)) 30 May 2003 (2003-05-30) page 1, paragraph 1 claim 1	1-11
P, X	WO 03/053925 A (GLAXO (GB)) 3 July 2003 (2003-07-03) page 1, paragraph 1 claim 1	1-11

☐ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

* Special categories of cited documents:

- *A* document defining the general state of the art which is not considered to be of particular relevance
- *E* earlier document but published on or after the international filing date
- *L* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- *O* document referring to an oral disclosure, use, exhibition or other means
- *P* document published prior to the international filing date but later than the priority date claimed

- *T* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- *X* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- *Y* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- *&* document member of the same patent family

Date of the actual completion of the international search

30 August 2004

Date of mailing of the international search report

10/09/2004

Name and mailing address of the ISA

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Authorized officer

Cortés, J

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Box II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:

Although claim 10 is directed to a method of treatment of the human body, the search has been carried out and based on the alleged effects of the compounds.
2. ☐ Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

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Information on patent family members

International Application No

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Patent document cited in search report		Publication date		Patent family member(s)		Publication date
WO 03043981	A	30-05-2003	EP	1444201 A1		11-08-2004
			WO	03043981 A1		30-05-2003
WO 03053925	A	03-07-2003	WO	03053925 A1		03-07-2003